

10764167  
1076415.7 Page 1

Patel  
14/11/04  
1624

Welcome to STN International! Enter x:x

LOGINID:sssptal611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 SEP 01 New pricing for the Save Answers for SciFinder Wizard within  
STN Express with Discover!  
NEWS 4 OCT 28 KOREAPAT now available on STN  
NEWS 5 NOV 18 Current-awareness alerts, saved answer sets, and current  
search transcripts to be affected by CERAB, COMPUAB, ELCOM,  
and SOLIDSTATE reloads  
NEWS 6 NOV 30 PHAR reloaded with additional data  
NEWS 7 DEC 01 LISA now available on STN  
NEWS 8 DEC 09 12 databases to be removed from STN on December 31, 2004  
  
NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
specific topic.

All use of STN is subject to the provisions of the STN Customer  
agreement. Please note that this agreement limits use to scientific  
research. Use for software development or design or implementation  
of commercial gateways or other similar uses is prohibited and may  
result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 08:30:17 ON 10 DEC 2004

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 08:30:26 ON 10 DEC 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

Patel

<12/2/2004>

STRUCTURE FILE UPDATES: 8 DEC 2004 HIGHEST RN 795251-52-4  
 DICTIONARY FILE UPDATES: 8 DEC 2004 HIGHEST RN 795251-52-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
 information enter HELP PROP at an arrow prompt in the file or refer  
 to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

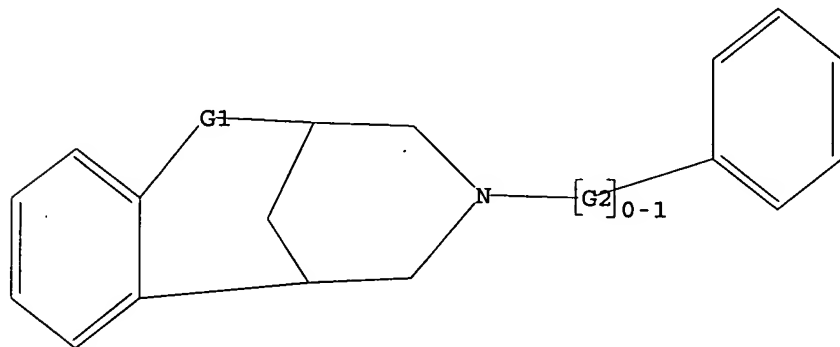
Uploading C:\Program Files\Stnexp\Queries\10764167.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 CH2, CF2

G2 H, Me, CH2, Et, n-Pr, n-Bu

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 08:30:54 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 578205 TO ITERATE

69.2% PROCESSED 400000 ITERATIONS  
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
 SEARCH TIME: 00.00.03

27 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 578205 TO 578205  
 PROJECTED ANSWERS: 27 TO 57

L2 27 SEA SSS FUL L1

=&gt; file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

FILE 'CAPLUS' ENTERED AT 08:31:03 ON 10 DEC 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 10 Dec 2004 VOL 141 ISS 25

FILE LAST UPDATED: 9 Dec 2004 (20041209/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=&gt; s l2

L3 3 L2

=&gt; d l3 fbib hitstr abs total

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:356250 CAPLUS

DN 138:348736

TI Nicotinic acetylcholine receptor agonists in the treatment of restless legs syndrome

IN Saltarelli, Mario David

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003037329	A1	20030508	WO 2002-IB4379	20021021
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,				

CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			US 2001-334810P	P	20011031
			US 2001-335858P	P	20011126
US 2003134844	A1	20030717	US 2002-224055		20020820
			US 2001-334810P	P	20011031
EP 1439836	A1	20040728	EP 2002-802239		20021021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
			US 2001-334810P	P	20011031
			US 2001-335858P	P	20011126
			WO 2002-IB4379	W	20021021
BR 2002013696	A	20041026	BR 2002-13696		20021021
			US 2001-334810P	P	20011031
			US 2001-335858P	P	20011126
			WO 2002-IB4379	W	20021021

OS MARPAT 138:348736

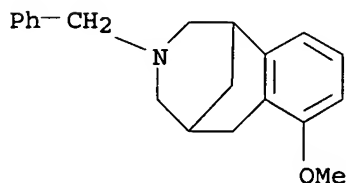
IT 248275-77-6 248275-90-3 248275-92-5  
 248275-97-0 248275-99-2 248276-26-8  
 248276-28-0 248276-30-4 519165-33-4  
 519165-35-6 519165-36-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)

(nicotinic agonists for treatment of restless legs syndrome)

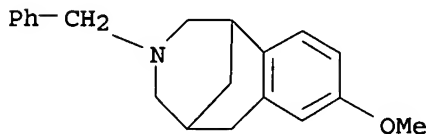
RN 248275-77-6 CAPLUS

CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-7-methoxy-3-  
 (phenylmethyl)- (9CI) (CA INDEX NAME)



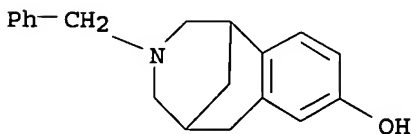
RN 248275-90-3 CAPLUS

CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-8-methoxy-3-  
 (phenylmethyl)- (9CI) (CA INDEX NAME)



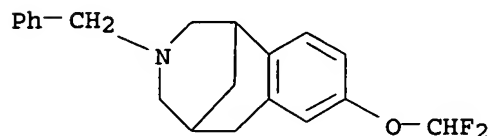
RN 248275-92-5 CAPLUS

CN 1,5-Methano-3-benzazocin-8-ol, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-  
 (9CI) (CA INDEX NAME)



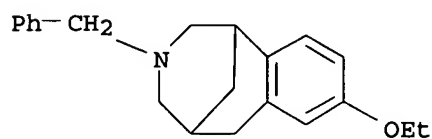
RN 248275-97-0 CAPLUS

CN 1,5-Methano-3-benzazocine, 8-(difluoromethoxy)-1,2,3,4,5,6-hexahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



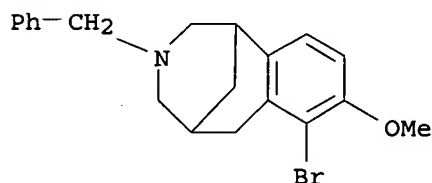
RN 248275-99-2 CAPLUS

CN 1,5-Methano-3-benzazocine, 8-ethoxy-1,2,3,4,5,6-hexahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



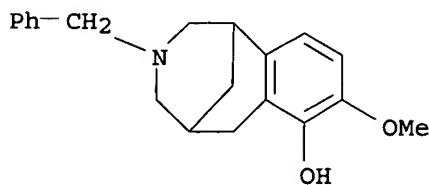
RN 248276-26-8 CAPLUS

CN 1,5-Methano-3-benzazocine, 7-bromo-1,2,3,4,5,6-hexahydro-8-methoxy-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



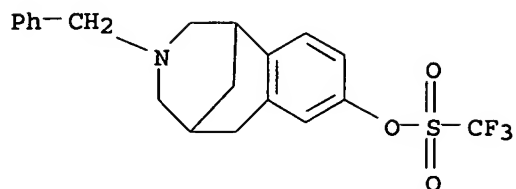
RN 248276-28-0 CAPLUS

CN 1,5-Methano-3-benzazocin-7-ol, 1,2,3,4,5,6-hexahydro-8-methoxy-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

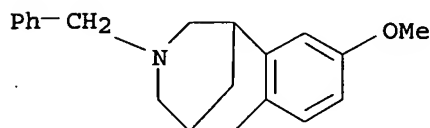


RN 248276-30-4 CAPLUS

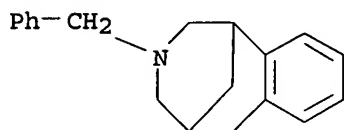
CN Methanesulfonic acid, trifluoro-, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-1,5-methano-3-benzazocin-8-yl ester (9CI) (CA INDEX NAME)



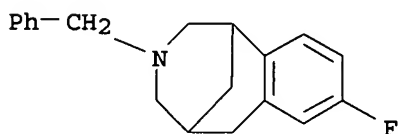
RN 519165-33-4 CAPLUS  
 CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-9-methoxy-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 519165-35-6 CAPLUS  
 CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 519165-36-7 CAPLUS  
 CN 1,5-Methano-3-benzazocine, 8-fluoro-1,2,3,4,5,6-hexahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



AB The invention discloses the use of nicotinic acetylcholine receptor agonists for the treatment of restless legs syndrome (RLS). The invention further discloses the use of a nicotinic acetylcholine receptor agonist in the manufacture of a medicament for the treatment of RLS. The invention also discloses a pharmaceutical composition for the treatment of RLS containing a nicotinic acetylcholine receptor agonist.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1999:708745 CAPLUS  
 DN 131:322551  
 TI Aryl-fused azapolycyclic compounds as nicotine binding inhibitors  
 IN Coe, Jotham Wadsworth

PA Pfizer Products Inc., USA  
 SO PCT Int. Appl., 80 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9955680	A1	19991104	WO 1999-IB617	19990408
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1998-83556P	P 19980429
	CA 2330576	AA	19991104	CA 1999-2330576	19990408
				US 1998-83556P	P 19980429
				WO 1999-IB617	W 19990408
	AU 9929516	A1	19991116	AU 1999-29516	19990408
	AU 749831	B2	20020704		
				US 1998-83556P	P 19980429
				WO 1999-IB617	W 19990408
	BR 9910058	A	20001226	BR 1999-10058	19990408
				US 1998-83556P	P 19980429
				WO 1999-IB617	W 19990408
	EP 1076650	A1	20010221	EP 1999-910600	19990408
	EP 1076650	B1	20040204		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
				US 1998-83556P	P 19980429
				WO 1999-IB617	W 19990408
	TR 200003122	T2	20010321	TR 2000-200003122	19990408
				US 1998-83556P	P 19980429
	JP 2002513007	T2	20020508	JP 2000-545840	19990408
				US 1998-83556P	P 19980429
				WO 1999-IB617	W 19990408
	NZ 507035	A	20030530	NZ 1999-507035	19990408
				US 1998-83556P	P 19980429
				WO 1999-IB617	W 19990408
	AT 258921	E	20040215	AT 1999-910600	19990408
				US 1998-83556P	P 19980429
				WO 1999-IB617	W 19990408
	ES 2213354	T3	20040816	ES 1999-910600	19990408
				US 1998-83556P	P 19980429
	ZA 9902971	A	20001030	ZA 1999-2971	19990428
				US 1998-83556P	P 19980429
	AP 1154	A	20030327	AP 1999-1524	19990429
	W: BW, GM, GH, KE, MW, SD, UG, ZM, ZW				
				US 1998-83556P	P 19980429
				WO 1999-IB617	A 19990408
	US 6462035	B1	20021008	US 2000-582513	20000807
				US 1998-83556P	P 19980429
				WO 1999-IB617	W 19990408
	NO 2000005397	A	20001026	NO 2000-5397	20001026
				US 1998-83556P	P 19980429
				WO 1999-IB617	W 19990408

HR 2000000731	A1	20010630	HR 2000-731	20001027
			US 1998-83556P	P 19980429
			WO 1999-IB617	W 19990408
BG 104983	A	20010928	BG 2000-104983	20001124
			US 1998-83556P	P 19980429
			WO 1999-IB617	W 19990408
US 2003008890	A1	20030109	US 2002-217771	20020813
US 6706702	B2	20040316		
			US 1998-83556P	P 19980429
			WO 1999-IB617	W 19990408
			US 2000-582513	A3 20000807
US 2004167149	A1	20040826	US 2004-764167	20040123
			US 1998-83556P	P 19980429
			WO 1999-IB617	W 19990408
			US 2000-582513	A3 20000807
			US 2002-217771	A3 20020813

OS MARPAT 131:322551

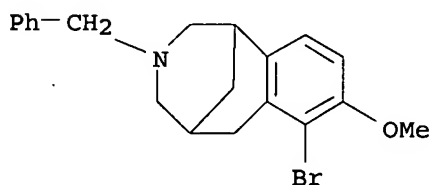
IT 248276-26-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(Br/OH exchange; preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

RN 248276-26-8 CAPLUS

CN 1,5-Methano-3-benzazocine, 7-bromo-1,2,3,4,5,6-hexahydro-8-methoxy-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



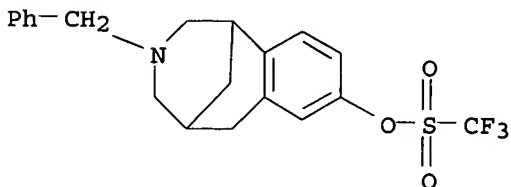
IT 248276-30-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(coupling reactions; preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

RN 248276-30-4 CAPLUS

CN Methanesulfonic acid, trifluoro-, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-1,5-methano-3-benzazocin-8-yl ester (9CI) (CA INDEX NAME)



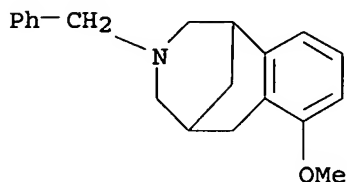


IT 248275-78-7P 248275-91-4P 248276-00-8P  
 248276-03-1P 248276-10-0P 248276-13-3P  
 248276-23-5P 248276-28-0P 248276-34-8P  
 248276-36-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (debenzylation; preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

RN 248275-78-7 CAPLUS

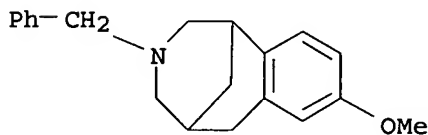
CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-7-methoxy-3-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 248275-91-4 CAPLUS

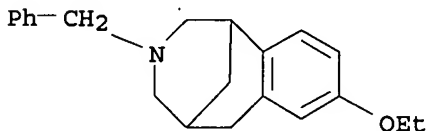
CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-8-methoxy-3-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 248276-00-8 CAPLUS

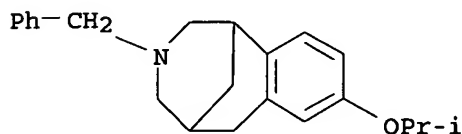
CN 1,5-Methano-3-benzazocine, 8-ethoxy-1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

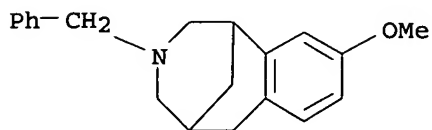
RN 248276-03-1 CAPLUS

CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-8-(1-methylethoxy)-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 248276-10-0 CAPLUS

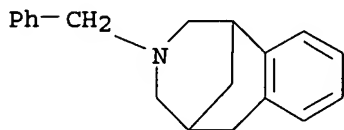
CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-9-methoxy-3-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 248276-13-3 CAPLUS

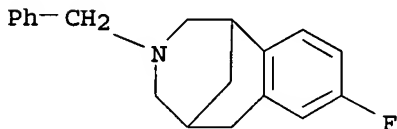
CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 248276-23-5 CAPLUS

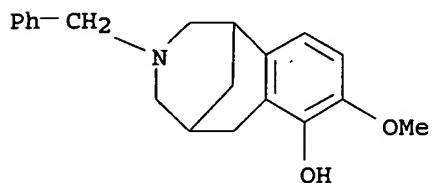
CN 1,5-Methano-3-benzazocine, 8-fluoro-1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

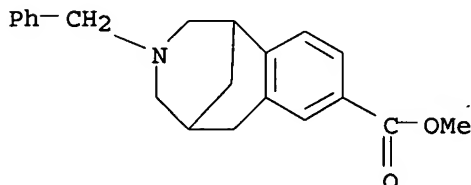
RN 248276-28-0 CAPLUS

CN 1,5-Methano-3-benzazocin-7-ol, 1,2,3,4,5,6-hexahydro-8-methoxy-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



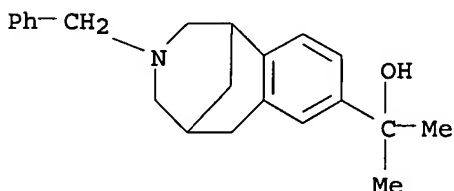
RN 248276-34-8 CAPLUS

CN 1,5-Methano-3-benzazocine-8-carboxylic acid, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 248276-36-0 CAPLUS

CN 1,5-Methano-3-benzazocine-8-methanol, 1,2,3,4,5,6-hexahydro- $\alpha,\alpha$ -dimethyl-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

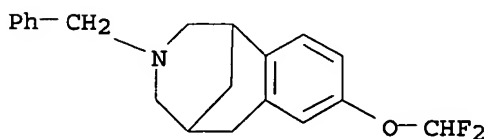


IT 248275-97-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(demethylation; preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

RN 248275-97-0 CAPLUS

CN 1,5-Methano-3-benzazocine, 8-(difluoromethoxy)-1,2,3,4,5,6-hexahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



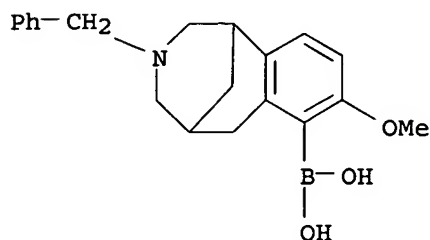
IT 248276-27-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(oxidation; preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

RN 248276-27-9 CAPLUS

CN Boronic acid, [1,2,3,4,5,6-hexahydro-8-methoxy-3-(phenylmethyl)-1,5-methano-3-benzazocin-7-yl]- (9CI) (CA INDEX NAME)



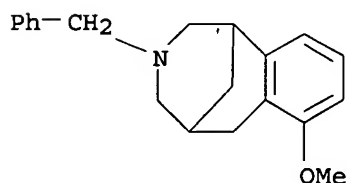
IT 248275-77-6P 248275-93-6P 248275-99-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

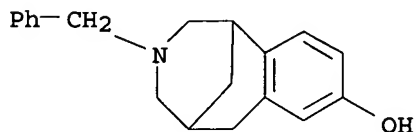
RN 248275-77-6 CAPLUS

CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-7-methoxy-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 248275-93-6 CAPLUS

CN 1,5-Methano-3-benzazocin-8-ol, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)

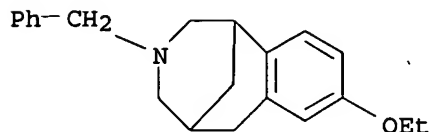


● HCl

RN 248275-99-2 CAPLUS

CN 1,5-Methano-3-benzazocine, 8-ethoxy-1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-

(9CI) (CA INDEX NAME)



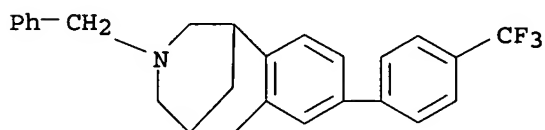
IT 248276-31-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

RN 248276-31-5 CAPLUS

CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-8-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



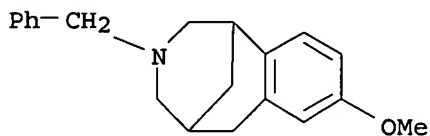
IT 248275-90-3P 248275-92-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(reactions; preparation of aryl-fused azapolycyclic compds. as inhibitors of nicotine binding to specific receptor sites)

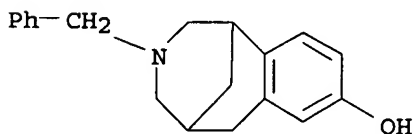
RN 248275-90-3 CAPLUS

CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-8-methoxy-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

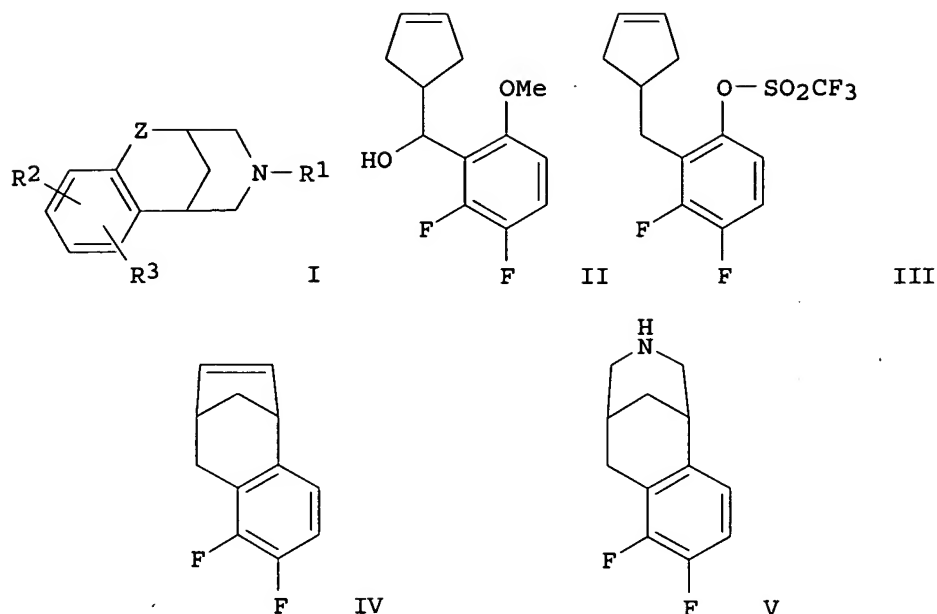


RN 248275-92-5 CAPLUS

CN 1,5-Methano-3-benzazocine, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)-8-ol, 1,2,3,4,5,6-hexahydro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



GI



AB Comps. of formula (I) and their pharmaceutically acceptable salts, wherein: Z = CH<sub>2</sub>, CO, CF<sub>2</sub>; R<sub>1</sub> = e.g., H, C1-6-alkyl, unconjugated C3-6-alkenyl, benzyl; R<sub>2</sub>, R<sub>3</sub> are independently, e.g., H, C2-6-alkenyl, C2-6-alkynyl, hydroxy, nitro, amino, halo, cyano, were prepared as nicotine binding inhibitors (IC<sub>50</sub> < 10 μM). Thus, e.g., metalation/addition reaction of 1,2-difluoro-4-methoxybenzene with cyclopent-3-enecarboxaldehyde afforded the methanol II; reduction, demethylation, and sulfonylation afforded triflate III; Heck cyclization to IV was followed by osmylation/oxidation to the diol; the latter was converted to title compound V via oxidative cleavage/reductive amination.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:528299 CAPLUS

DN 127:109166

TI Synthesis of a New Tyrosine Analog Having  $\chi_1$  and  $\chi_2$  Angles  
Constrained to Values Observed for an SH2 Domain-Bound Phosphotyrosyl Residue

AU Ye, Bin; Yao, Zhu-Jun; Burke, Terrence R., Jr.

CS Laboratory of Medicinal Chemistry Division of Basic Sciences National  
Cancer Institute, National Institutes of Health, Bethesda, MD, 20892, USA

SO Journal of Organic Chemistry (1997), 62(16), 5428-5431

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 127:109166

IT 192212-94-5P 192212-95-6P 192212-96-7P

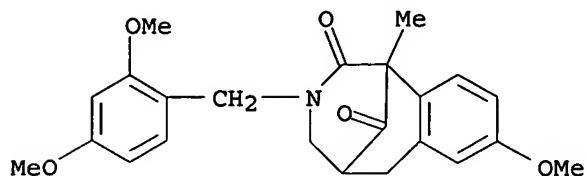
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of constrained tyrosine analog with  $\chi_1$  and  $\chi_2$  values close to SH2 domain-bound phosphotyrosyl residues)

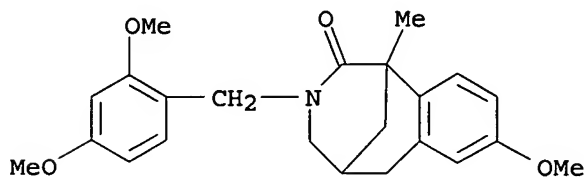
RN 192212-94-5 CAPLUS

CN 1,5-Methano-3-benzazocine-2,11(1H)-dione, 3-[(2,4-dimethoxyphenyl)methyl]-3,4,5,6-tetrahydro-8-methoxy-1-methyl- (9CI) (CA INDEX NAME)



RN 192212-95-6 CAPLUS

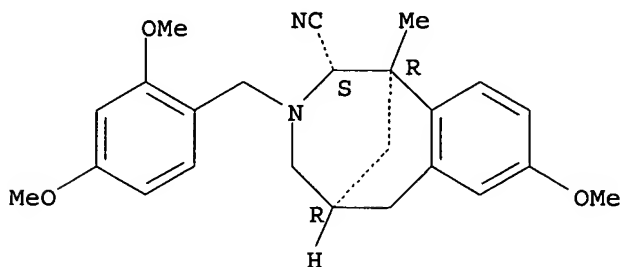
CN 1,5-Methano-3-benzazocin-2(1H)-one, 3-[(2,4-dimethoxyphenyl)methyl]-3,4,5,6-tetrahydro-8-methoxy-1-methyl- (9CI) (CA INDEX NAME)



RN 192212-96-7 CAPLUS

CN 1,5-Methano-3-benzazocine-2-carbonitrile, 3-[(2,4-dimethoxyphenyl)methyl]-1,2,3,4,5,6-hexahydro-8-methoxy-1-methyl-, (1 $\alpha$ ,2 $\alpha$ ,5 $\alpha$ )-(9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 192212-97-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of constrained tyrosine analog with  $\chi_1$  and  $\chi_2$  values close to SH2 domain-bound phosphotyrosyl residues)

RN 192212-97-8 CAPLUS

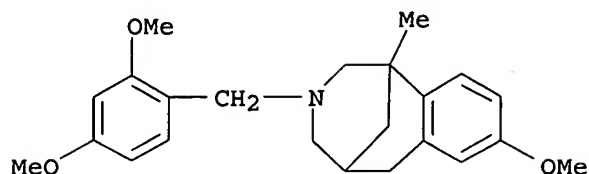
CN 1,5-Methano-3-benzazocine, 3-[(2,4-dimethoxyphenyl)methyl]-1,2,3,4,5,6-hexahydro-8-methoxy-1-methyl- (9CI) (CA INDEX NAME)

10764167

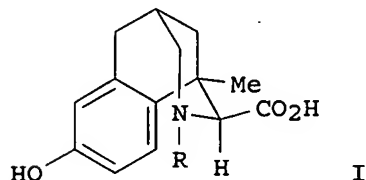
10169415.7

Page 16

Yndol  
12/11/01  
B. G. G.  
1624



GI



I

AB Synthesis is reported of new tricyclic amino acid I ( $R = H$ ), which contains within its structure the elements of a tyrosine moiety having  $\chi_1$  and  $\chi_2$  angles ( $168^\circ$  and  $-95^\circ$ , resp.) constrained to values observed for a phosphotyrosyl (pTyr) residue bound to the 56lck SH2 domain ( $\chi_1$  and  $\chi_2$  values of  $163^\circ$  and  $-94^\circ$ , resp.). Addnl., the  $\phi$  angle of I ( $R = \text{acyl}$ ) correlates well with the  $\phi$  angle of the SH2 domain-bound pTyr residue. I ( $R = H$ ) represents a unique, highly constrained amino acid which may be of value in signal transduction studies.